CLAIMS:

A process for preparing a compound of formula (i):

$$XH \cdot H_2N \stackrel{H}{\longrightarrow} H_3$$
 CO_2R^1 (D)

wherein R1 is para-nitrobenzyl or allyl; and X is halo;

- comprising the steps of:
 - a) cyclizing a trimethylphosphinic compound of formula (IIIa)

wherein

5

R1 is para-nitrobenzyl or allyl;

10 R² is selected from the group consisting of C_{1-e}alkyl, C_{e-10}aryl, C_{e-10}arylC_{1-e}alkyl and dithianyl;

in a solvent;

to form a compound of formula (II)

15 wherein

R1 is para-nitrobenzyl or allyl;

 R^2 is selected from the group consisting of $C_{1:e}$ alkyl, $C_{6:10}$ aryl, $C_{6:10}$ aryl $C_{1:e}$ alkyl and dithianyl; and

- b) reacting said compound of formula (II) with an acid.
- A process according to claim 1, wherein said solvent is selected from the group consisting of toluene, xylene, tetrahydrofuran, methylene chloride and acetonitrile. <u>Unseasocculaniasymethological port inspart Access top</u>

10

15

20

- 3. A process according to claim 1, wherein said acid is phosphorus pentachloride or phosphorus pentabromide; and wherein X is chloro or bromo.
- A process according to claim 1, further comprising the step of preparing said compound of formula (IIIa), by reacting a compound of formula (IIIb)

wherein said R1 is para-nitrobenzyl or allyl,

said R^2 is selected from the group consisting of $C_{1-\theta}$ alkyl, $C_{\theta-10}$ aryl, $C_{\theta-10}$ aryl $C_{1-\theta}$ alkyl and dithianvi: and

said X is halo:

with trimethylphosphine, in a solvent and in the presence of a base.

- A process according to claim 4, wherein said solvent is tetrahydrofuran, acetonitrile or methylene chloride.
- A process according to claim 4, wherein said base is selected from the group consisting of imidazole, 2,6-lutidine, pyridine, N-methylmorpholine and sodium bicarbonate.
- A process according to claim 4, further comprising the step of preparing said compound of formula (IIIb), by reacting a compound of formula (IIIc)

wherein said R^1 is para-nitrobenzyl or allyl and said R^2 is selected from the group consisting of C_{1+6} alkyl, C_{8-10} aryl, C_{8-10} aryl C_{1+6} alkyl and dithianyl; with a halogenating agent, in a solvent and in the presence of a base.

- A process according to claim 7, wherein said halogenating agent is thionyl chloride, thionyl bromide, phosphorus trichloride or phosphorus tribromide; and said halo is chloro or bromo.
- A process according to claim 7, wherein said base is selected from the group
 consisting of pyridine, 2,6-lutidine, N-methylmorpholine and imidazole.
 - A process according to claim 7, further comprising the step of preparing said compound of formula (IIIc), by reacting a compound of formula (V)

20

wherein said R^1 is para-nitrobenzyl or allyl and said R^2 is selected from the group consisting of $C_{1+\alpha}$ alkyl, C_{6-10} aryl, C_{6-10} aryl, C_{6-10} aryl, C_{6-10} aryl $C_{1+\alpha}$ alkyl and dithianyl;

with a compound of formula (IV)

wherein Y is a leaving group selected from the group consisting of bromo, chloro, fluoro, iodo and tosylate; in a solvent.

- 11. A process according to claim 10, wherein said Y is bromo or chloro.
- A process according to claim 10 wherein said solvent is alcohol selected from
 the group consisting of methanol, ethanol and propanol; methylene chloride; acetone; dimethylformamide or mixtures thereof.
 - 13. A process according to claim 10, further comprising the step of preparing said compound of formula (V) by reacting a compound of formula (Via)

- wherein R¹ is para-nitrobenzyl or allyl and wherein R² is selected from the group consisting of C₁₋₈alkyl, C₆₋₁₀aryl, C₆₋₁₀arylC₁₋₆alkyl and dithianyl; with an acid in a solvent.
 - 14. A process according to claim 13 wherein said acid is para-toluene sulfonic acid or methane sulfonic acid
 - A process according to claim 13 wherein said solvent is methylene chloride, tetrahydrofuran, acetone or mixtures thereof.
 - 16. A process according to claim 13 further comprising the step of preparing said compound of formula (VIa) by:

reacting a compound of formula (VIb)

20

wherein

R1 is para-nitrobenzyl or allyl;

 R^2 is selected from the group consisting of $C_{1-\theta}$ alkyl, $C_{\theta-10}$ aryl, $C_{\theta-10}$ aryl $C_{1-\theta}$ alkyl and 5 dithianvl:

- with a reducing agent selected from the group consisting of sodium borohydride, sodium cyanoborohydride, borane and sodium triacetoxy borohydride; in a solvent.
- 17. A process according to claim 16 wherein said reducing agent is sodium triacetoxy borohydride.
- A process according to claim 16 wherein said solvent is acetic acid, methylene chloride, tetrahydrofuran, isopropanol or mixtures thereof.
 - A process according to claim 13 further comprising the step of preparing said compound of formula (VIa) by reacting a compound of formula (XI)

15 wherein R² is selected from the group consisting of C_{1-e}alkyl, C₆₋₁₀aryl, C₆₋₁₀arylC_{1-e}alkyl and dithianyl;

with a compound of formula (X)

$$HO \longrightarrow OR^1$$

wherein R1 is para-nitrobenzyl or allyl; in a solvent; in the presence of a base.

20. A process according to claim 16 further comprising the step of preparing said compound of formula (VIII) comprising reacting a compound of formula (VIII)

wherein

5

 R^2 is selected from the group consisting of $C_{1.0}$ alkyl, $C_{0.10}$ aryl, $C_{6.10}$ aryl $C_{1.0}$ alkyl and dithianyl;

L₂ is a leaving group selected from the group consisting of halo, azide and C_{1-e}alkoxy; with a compound of formula (VII)

wherein R1 is para-nitrobenzyl or allyl, in a solvent, in the presence of a base;

further comprising the step of preparing said compound of formula (VIII) by reacting a 10 compound of formula (XI)

(XI)

wherein R^2 is selected from the group consisting of $C_{1-\theta}$ alkyl, C_{6-10} aryl, C_{6-10} aryl $C_{1-\theta}$ alkyl and dithianyl; with a compound of formula (IX)

- 15 wherein each of said L_1 and L_2 is a leaving group selected from the group consisting of halo, azide and C_{1-6} alkoxy; in a solvent, optionally in the presence of a base.
 - 21. A process according to claim 16 further comprising the step of preparing said compound of formula (VIb) comprising reacting a compound of formula (VIc)

15

20

wherein

R1 is para-nitrobenzyl or allyl;

 R^2 is selected from the group consisting of C_{1-e}alkyl, C₆₋₁₀aryl, C₆₋₁₀arylC_{1-e}alkyl and $\footnote{5}$ dithianvi:

R3 is hydrogen or C1.6alkyl; and

R4 is hydrogen or C1-6alkyl; with ozone, in a solvent.

22. A process according to claim 16 further comprising the step of preparing said compound of formula (VIb) comprising reacting a compound of formula (XI)

(XI)

wherein R^2 is selected from the group consisting of $C_{1-\theta}$ alkyl, $C_{\theta-10}$ aryl, $C_{\theta-10}$ aryl, $C_{1-\theta}$ alkyl, and dithianyl; with a compound of formula (XII)

wherein

said L₃ is halo;

R1 is para-nitrobenzyl or allyl:

in a solvent, in the presence of a base.

- $23. \hspace{0.5cm} \hbox{A process according to claim 20, wherein each of L_1 and L_2, wherever each of them occurs, is halo selected from the group consisting of bromo or chloro.} \\$
 - 24. A process according to claim 21 wherein R3 is methyl and R4 is methyl.
- A process according to claim 7 wherein said solvent, wherever it occurs, is methylene chloride, tetrahydrofuran or mixtures thereof.

- A process according to claim 21 wherein said solvent is methylene chloride, tetrahydrofuran, isopropanol or mixtures thereof.
- 27. A process according to claim 19 wherein said base is selected from the group consisting of diisopropylamine, triethylamine, pyridine and 2,6-lutidine.
- 5 28. A process according to claim 1, wherein each of said R¹, wherever it occurs, is para-nitrobenzyl.
 - 29. A process according to claim 1, wherein each of said R^1 , wherever it occurs, is allyl.
- $30. \qquad \text{A process according to claim 1, wherein each of said R^2, wherever it occurs,} \\ 10 \qquad \text{is C_{6-10}arylC$_{1-9}$alkyl}.$
 - $\mbox{31.} \qquad \mbox{A process according to claim 1, wherein each of said R^2, wherever it occurs, is benzyl.}$
 - 32. A compound of formula (I)

(I)

(II)

- 15 wherein R¹ is para-nitrobenzyl or allyl; and X is halo.
 - 33. A compound of formula (II)

wherein R^1 is para-nitrobenzyl or allyl; and R^2 is $(C_{6^*}C_{10})\text{aryl}(C_{1\cdot 6})\text{alkyl}.$

34. A compound of formula (III)

wherein R1 is para-nitrobenzyl or allyl;

R2 is (C6-C10)aryl(C1-6)alkyl;

K is hydroxy, halo or -P-(CH₃)₃;

5 wherein the C-K bond is a single bond when K is hydroxy or halo; and a double bond when K is -P-(CH₃)₃; and

wherein said compound of formula (IIII) is selected from the group consisting of compound of formulae (IIIa), (IIIb) and (IIIc):

A compound of formula (V)

$$R^2$$
 OH OH CO_2R^1 $($

wherein R^1 is para-nitrobenzyl or allyl; and R^2 is $(C_6 - C_{10})$ aryl (C_{1-6}) alkyl.

36. A compound of formula (VI)

10

wherein R1 is para-nitrobenzyl or allyl;

R2 is (C6-C10)aryl(C1-6)alkyl;

T is hydroxy or >O;

wherein the C-T bond is a single bond when T is hydroxy; and a double bond when T is >0: and

wherein said compound of formula (VI) is selected from the group consisting of compound of formulae (VIa) and (VIb):

$$\bigcap_{N = 1}^{R^2} \bigcap_{N = 1}^{R$$

- 37. A compound according to claim 32, wherein said R¹ is *para*-nitrobenzyl.
- 38. A compound according to claim 32, wherein said R¹ is allyl.
- 39. A compound according to claim 32, wherein said R2 is benzyl.